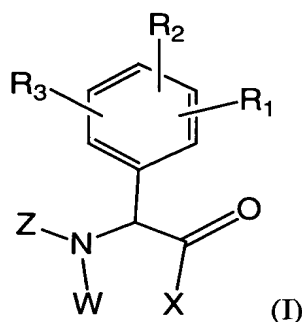


## CLAIMS

We claim:

- 5 1. A compound according to formula (I),



or a stereoisomer or a pharmaceutically-acceptable salt thereof, wherein:

- X is  $-\text{OH}$ ,  $-\text{O}(\text{alkyl})$ ,  $-\text{O}(\text{aryl})$ ,  $-\text{O}(\text{arylalkyl})$ ,  $-\text{NR}_5(\text{aryl})$ , or  
 10  $-\text{NR}_5(\text{arylalkyl})$ ; wherein said aryl or arylalkyl are optionally substituted with one to two  $\text{R}_{25}$ ;

W is hydrogen or  $-(\text{CR}_7\text{R}_8)_q-\text{H}$ ;

- Z is a 5-membered heteroaryl group optionally substituted with 1-3  $\text{R}_9$ , a five  
 to six membered heterocyclo or cycloalkyl group optionally substituted with  
 15 1-3  $\text{R}_9$ , a 9 to 10 membered bicyclic aryl or heteroaryl optionally substituted with 1-3

substituents selected from  $\text{R}_9$  and/or  $\text{R}_{10}$ , or

$\text{R}_{10}$   $\text{R}_{11}$ ;

$\text{Z}_1$ ,  $\text{Z}_2$  and  $\text{Z}_3$  are independently N or  $\text{CR}_9$ ;

- $\text{R}_1$ ,  $\text{R}_2$  and  $\text{R}_3$  are attached to any available carbon atom of phenyl ring A and  
 are independently selected from hydrogen, halogen, cyano, nitro,  $\text{C}_{1-10}\text{alkyl}$ ,  
 20  $\text{C}_{2-10}\text{alkenyl}$ , substituted  $\text{C}_{1-10}\text{alkyl}$ , substituted  $\text{C}_{2-10}\text{alkenyl}$ ,  $-\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  
 $-\text{OR}_{12}$ ,  $-\text{CO}_2\text{R}_{12}$ ,  $-\text{C}(=\text{O})\text{R}_{12}$ ,  $-\text{SR}_{12}$ ,  $-\text{S}(\text{O})_t\text{R}_{15}$ ,  $-\text{NR}_{12}\text{R}_{13}$ ,  $-\text{NR}_{12}\text{SO}_2\text{R}_{15}$ ,  
 $-\text{NR}_{14}\text{SO}_2\text{NR}_{12}\text{R}_{13}$ ,  $-\text{NR}_{12}\text{CO}_2\text{R}_{13}$ ,  $-\text{NR}_{12}\text{C}(=\text{O})\text{R}_{13}$ ,  $-\text{NR}_{14}\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  
 $-\text{SO}_2\text{NR}_{12}\text{R}_{13}$ , aryl, heteroaryl, cycloalkyl, and heterocyclo;

$R_5$  is hydrogen,  $C_{1-4}$ alkyl,  $NH_2$ ,  $C_{1-4}$ alkylamino, hydroxy, or  $C_{1-4}$ alkoxy;

$R_7$  and  $R_8$  are independently selected from hydrogen,  $-OR_{18}$ ,  $-NR_{18}R_{19}$ ,  $-NR_{18}SO_2R_{20}$ , alkyl, alkenyl, substituted alkyl, substituted alkenyl, halogen, haloalkyl, haloalkoxy, cyano, nitro, alkylthio,  $-C(=O)H$ , acyl,  $-CO_2H$ , alkoxy carbonyl, sulfonamido, sulfonyl, and phenyl in turn optionally substituted with 1-3 of halogen, cyano, haloalkyl, haloalkoxy, nitro, hydroxy,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy, amino,  $NH(C_{1-4}alkyl)$ ,  $N(C_{1-4}alkyl)_2$ , and/or  $C_{1-4}$ aminoalkyl;

$R_9$ ,  $R_{10}$  and  $R_{11}$  are independently selected from hydrogen, halogen, alkyl, substituted alkyl, haloalkyl, haloalkoxy, cyano, nitro,  $-S(O)_uR_{21}$ ,  $-NR_{22}SO_2R_{21}$ ,  $-C(=O)NR_{22}R_{23}$ ,  $-OR_{22}$ ,  $-CO_2R_{22}$ ,  $-C(=O)R_{22}$ ,  $-SR_{22}$ ,  $-NR_{22}R_{23}$ ,  $-NR_{22}CO_2R_{23}$ ,  $-NR_{22}C(=O)R_{23}$ ,  $-NR_{22}C(=O)NR_{23}R_{24}$ ,  $-SO_2NR_{22}R_{23}$ ,  $-NR_{22}SO_2NR_{23}R_{24}$ ,  $-C(=NR_{22})NR_{23}R_{24}$ , five or six membered heterocyclo or heteroaryl, phenyl, and  $C_{3-7}$ cycloalkyl, provided that  $R_{11}$  is not  $-C(=NR_{22})NR_{23}R_{24}$  when  $W$  or  $W_1$  is hydrogen; wherein when  $R_9$ ,  $R_{10}$  or  $R_{11}$  is selected from heterocyclo, heteroaryl, phenyl, and  $C_{3-7}$ cycloalkyl, each of said cyclic groups in turn is optionally substituted with up to three of  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$  hydroxyalkyl,  $C_{1-4}$  aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino,  $C_{1-4}$  alkylamino, and/or cyano;

$R_{12}$ ,  $R_{13}$ ,  $R_{14}$ ,  $R_{18}$ ,  $R_{19}$ ,  $R_{22}$ ,  $R_{23}$ , and  $R_{24}$  are independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, and heterocyclo;

$R_{15}$ ,  $R_{20}$  and  $R_{21}$  are independently selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, and heterocyclo;

$R_{25}$  at each occurrence is selected from hydrogen, halogen, cyano, nitro,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl, substituted  $C_{1-10}$ alkyl, substituted  $C_{2-10}$ alkenyl,  $-C(=O)NR_{12}R_{13}$ ,  $-OR_{12}$ ,  $-CO_2R_{12}$ ,  $-C(=O)R_{12}$ ,  $-SR_{12}$ ,  $-S(O)_tR_{15}$ ,  $-NR_{12}R_{13}$ ,  $-NR_{12}SO_2R_{15}$ ,  $-NR_{14}SO_2NR_{12}R_{13}$ ,  $-NR_{12}CO_2R_{13}$ ,  $-NR_{12}C(=O)R_{13}$ ,  $-NR_{14}C(=O)NR_{12}R_{13}$ ,  $-SO_2NR_{12}R_{13}$ , aryl, heteroaryl, cycloalkyl, and heterocyclo;

$p$  is 1 or 2;

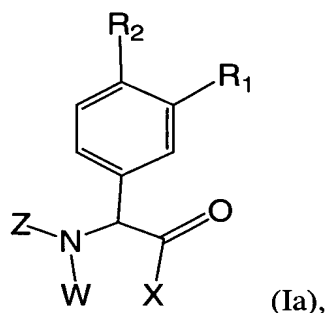
$q$  is 1, 2 or 3;

$t$  is 1 or 2; and

$u$  is 1 or 2;

- 5 provided that when  $Z$  is phenyl, pyridyl or pyridazinyl,  $R_9$ ,  $R_{10}$  and/or  $R_{11}$  are other than cyano or  $-C(=NR_{22})NR_{23}R_{24}$ .

2. A compound according to claim 1, or a stereoisomer or a pharmaceutically-  
10 acceptable salt thereof, wherein the compound is of formula (Ia):



- $X$  is  $-OH$ ,  $-O(\text{phenyl})$  optionally substituted with one to two  $R_{25}$ ,  $-O(\text{benzyl})$  optionally substituted with one to two  $R_{25}$ ,  $-NH(\text{phenyl})$  optionally substituted with  
15 one to two  $R_{25}$ , or  $-NH(\text{benzyl})$  optionally substituted with one to two  $R_{25}$ ;

$W$  is hydrogen or  $-(CH_2)_q-H$ ;

$Z$  is selected from a 5-membered heteroaryl group optionally substituted with 1-3  $R_9$ , a five to six membered heterocyclo or cycloalkyl group optionally substituted with 1-3  $R_9$ , a 9 to 10 membered bicyclic aryl or heteroaryl optionally substituted

- 20 with 1-3 substituents selected from  $R_9$  and/or  $R_{10}$ , and  $R_{10}$  and  $R_{11}$ ;

$Z_1$ ,  $Z_2$  and  $Z_3$  are independently N or  $CR_9$  and at least one of  $Z_1$ ,  $Z_2$  and  $Z_3$  is N;

$R_1$  and  $R_2$  are independently selected from hydrogen, halogen, cyano, nitro,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl, substituted  $C_{1-10}$ alkyl, substituted  $C_{2-10}$ alkenyl,

$-\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  $-\text{OR}_{12}$ ,  $-\text{CO}_2\text{R}_{12}$ ,  $-\text{C}(=\text{O})\text{R}_{12}$ ,  $-\text{SR}_{12}$ ,  $-\text{S}(\text{O})_i\text{R}_{15}$ ,  $-\text{NR}_{12}\text{R}_{13}$ ,  
 $-\text{NR}_{12}\text{SO}_2\text{R}_{15}$ ,  $-\text{NR}_{14}\text{SO}_2\text{NR}_{12}\text{R}_{13}$ ,  $-\text{NR}_{12}\text{CO}_2\text{R}_{13}$ ,  $-\text{NR}_{12}\text{C}(=\text{O})\text{R}_{13}$ ,  
 $-\text{NR}_{14}\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  $-\text{SO}_2\text{NR}_{12}\text{R}_{13}$ , aryl, heteroaryl, cycloalkyl, and heterocyclo;

$\text{R}_9$ ,  $\text{R}_{10}$  and  $\text{R}_{11}$  are independently selected from hydrogen, halogen, alkyl,  
 5 substituted alkyl, haloalkyl, haloalkoxy, cyano, nitro,  $-\text{S}(\text{O})_u\text{R}_{21}$ ,  
 $-\text{NR}_{22}\text{SO}_2\text{R}_{21}$ ,  $-\text{C}(=\text{O})\text{NR}_{22}\text{R}_{23}$ ,  $-\text{OR}_{22}$ ,  $-\text{CO}_2\text{R}_{22}$ ,  $-\text{C}(=\text{O})\text{R}_{22}$ ,  $-\text{SR}_{22}$ ,  $-\text{NR}_{22}\text{R}_{23}$ ,  
 $-\text{NR}_{22}\text{CO}_2\text{R}_{23}$ ,  $-\text{NR}_{22}\text{C}(=\text{O})\text{R}_{23}$ ,  $-\text{NR}_{22}\text{C}(=\text{O})\text{NR}_{23}\text{R}_{24}$ ,  $-\text{SO}_2\text{NR}_{22}\text{R}_{23}$ ,  
 $-\text{NR}_{22}\text{SO}_2\text{NR}_{23}\text{R}_{24}$ ,  $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$ , five or six membered heterocyclo or  
 heteroaryl, phenyl, and  $\text{C}_{3-7}$ cycloalkyl, provided that  $\text{R}_{11}$  is not  $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$   
 10 when W is hydrogen; wherein when  $\text{R}_9$ ,  $\text{R}_{10}$  or  $\text{R}_{11}$  is selected from heterocyclo,  
 heteroaryl, phenyl, and  $\text{C}_{3-7}$ cycloalkyl, each of said cyclic groups in turn is optionally  
 substituted with up to three of  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$  hydroxyalkyl,  
 $\text{C}_{1-4}$  aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino,  $\text{C}_{1-4}$  alkylamino,  
 and/or cyano;

15  $\text{R}_{12}$ ,  $\text{R}_{13}$ ,  $\text{R}_{14}$ ,  $\text{R}_{18}$ ,  $\text{R}_{19}$ ,  $\text{R}_{22}$ ,  $\text{R}_{23}$ , and  $\text{R}_{24}$  are independently selected from  
 hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl,  
 cycloalkyl, and heterocyclo;

$\text{R}_{15}$ ,  $\text{R}_{20}$  and  $\text{R}_{21}$  are independently selected from alkyl, substituted alkyl,  
 alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, and heterocyclo;  $\text{R}_{16}$  is alkyl,  
 20 substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, or  
 heterocyclo;

$p$  is 1 or 2;

$q$  is 1, 2 or 3; and

$u$  is 1 or 2;

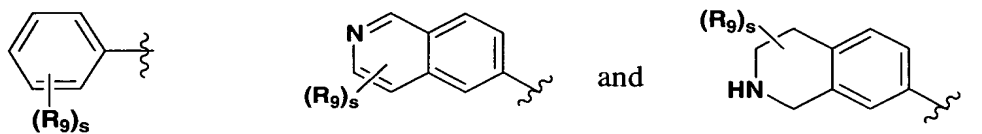
25 provided that when Z is phenyl, pyridyl or pyridazinyl,  $\text{R}_9$ ,  $\text{R}_{10}$  and/or  $\text{R}_{11}$  are  
 other than cyano or  $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$ .

3. A compound according to claim 2, wherein:

X is selected from  $-\text{OH}$ ,  $-\text{O}(\text{phenyl})$ ,  $-\text{O}(\text{benzyl})$ ,  $-\text{NH}(\text{phenyl})$ , and wherein each phenyl or benzyl group is optionally substituted with one to two  $\text{R}_{25}$ ,

W is hydrogen or  $-(\text{CH}_2)_q-\text{H}$ ;

Z is selected from the group:



5  $\text{R}_1$  and  $\text{R}_2$  are  $\text{OR}_{12}$ ;

$\text{R}_9$  is selected from hydrogen, halogen, alkyl, substituted alkyl, haloalkyl, haloalkoxy, cyano, nitro,  $-\text{S}(\text{O})_u\text{R}_{21}$ ,  $-\text{NR}_{22}\text{SO}_2\text{R}_{21}$ ,  $-\text{C}(=\text{O})\text{NR}_{22}\text{R}_{23}$ ,  $-\text{OR}_{22}$ ,  $-\text{CO}_2\text{R}_{22}$ ,  $-\text{C}(=\text{O})\text{R}_{22}$ ,  $-\text{SR}_{22}$ ,  $-\text{NR}_{22}\text{R}_{23}$ ,  $-\text{NR}_{22}\text{CO}_2\text{R}_{23}$ ,  $-\text{NR}_{22}\text{C}(=\text{O})\text{R}_{23}$ ,  $-\text{NR}_{22}\text{C}(=\text{O})\text{NR}_{23}\text{R}_{24}$ ,  $-\text{SO}_2\text{NR}_{22}\text{R}_{23}$ ,  $-\text{NR}_{22}\text{SO}_2\text{NR}_{23}\text{R}_{24}$ , five or six membered  
10 heterocyclo or heteroaryl, phenyl, and  $\text{C}_{3-7}$ cycloalkyl;

$\text{R}_{12}$ ,  $\text{R}_{22}$ ,  $\text{R}_{23}$  and  $\text{R}_{24}$  are selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, or heterocyclo;

$\text{R}_{21}$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, and heterocyclo;

15  $\text{R}_{25}$  at each occurrence is selected from  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ hydroxyalkyl,  $\text{C}_{1-4}$ aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino,  $\text{C}_{1-4}$ alkylamino, and/or cyano;

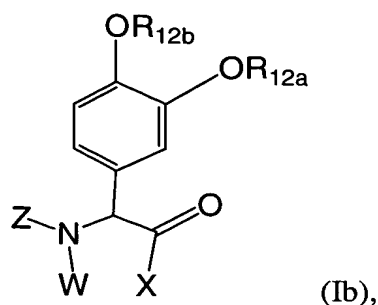
$q$  is 1, 2 or 3;

$s$  is 0, 1, or 2; and

20  $u$  is 1 or 2;

provided that when Z is phenyl,  $\text{R}_9$  and/or  $\text{R}_{11}$  are other than cyano or  $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$ .

25 4. A compound according to claim 1, or a stereoisomer or a pharmaceutically-acceptable salt thereof, wherein the compound is of formula (Ib),

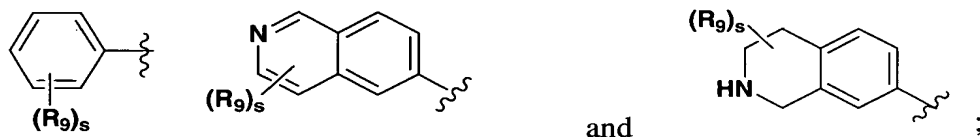


wherein:

X is selected from -O(phenyl), -O(benzyl), and -NH(phenyl) -NH(benzyl),  
 5 wherein each group X is optionally substituted with one to two R<sub>25</sub>,

W is hydrogen or -(CH<sub>2</sub>)<sub>q</sub>-H;

Z is selected from the group:



R<sub>9</sub> is independently selected from hydrogen, halogen, alkyl, aminoalkyl,  
 hydroxyalkyl, haloalkyl, haloalkoxy, alkoxy, cyano, nitro, alkylamino, alkylthio,  
 10 thioalkyl, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-4</sub>alkyl), -C(=O)N(C<sub>1-4</sub>alkyl)<sub>2</sub>, five or six  
 membered heterocyclo or heteroaryl, phenyl, and C<sub>3-7</sub>cycloalkyl;

R<sub>12a</sub> and R<sub>12b</sub> are independently selected from hydrogen, alkyl, substituted  
 alkyl, phenyl, and benzyl;

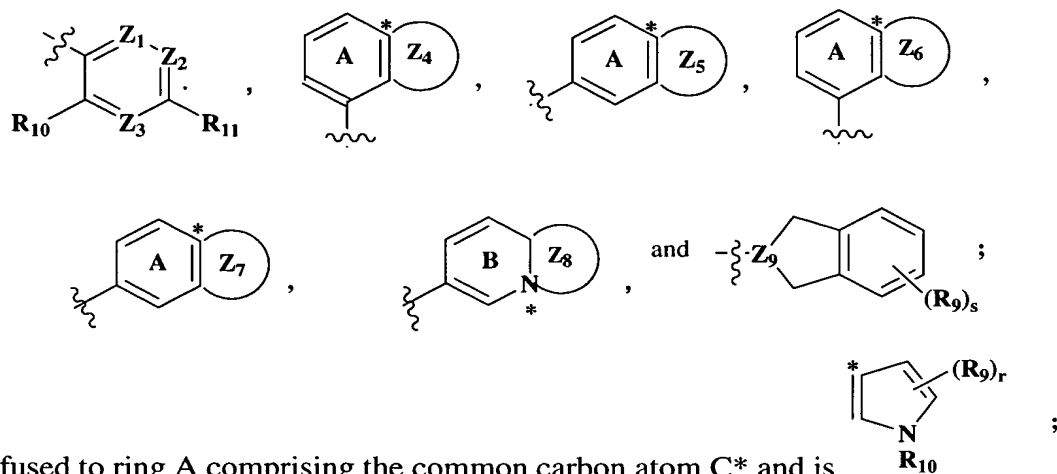
R<sub>25</sub> at each occurrence is selected from C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy,  
 15 C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy,  
 amino, C<sub>1-4</sub>alkylamino, and/or cyano;

p is 1 or 2; and

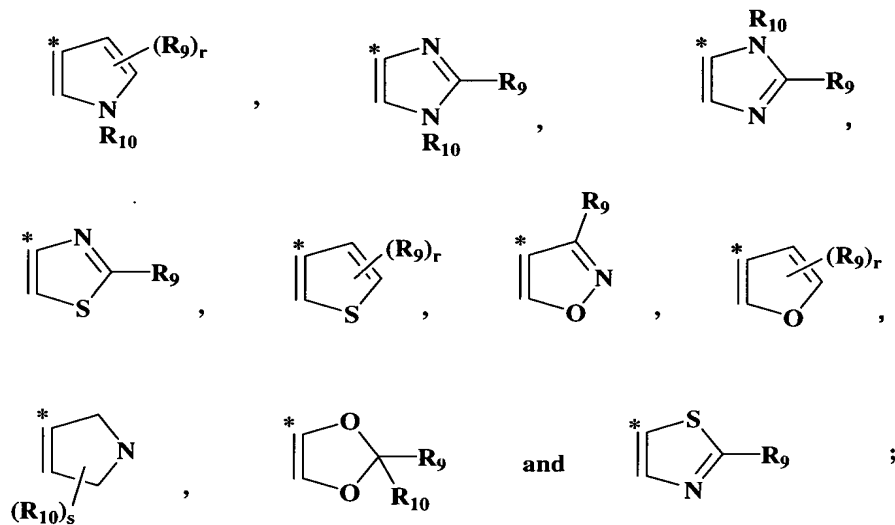
s is 0, 1 or 2;

provided that when Z is phenyl, R<sub>9</sub> and/or R<sub>11</sub> are other than cyano or  
 20 -C(=NR<sub>22</sub>)NR<sub>23</sub>R<sub>24</sub>.

5. A compound according to claim 1, or a stereoisomer or a pharmaceutically-acceptable salt thereof, wherein Z is selected from:

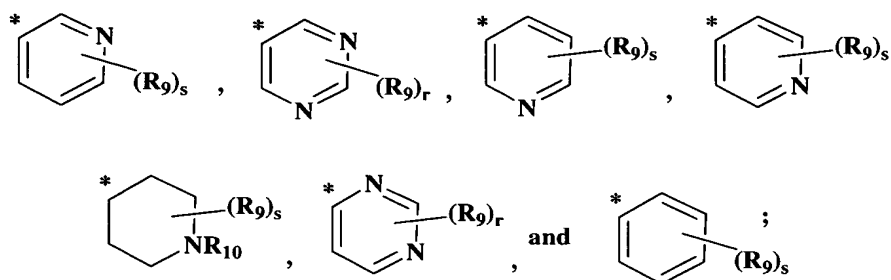


$Z_5$  is fused to ring A comprising the common carbon atom  $C^*$  and is selected from:



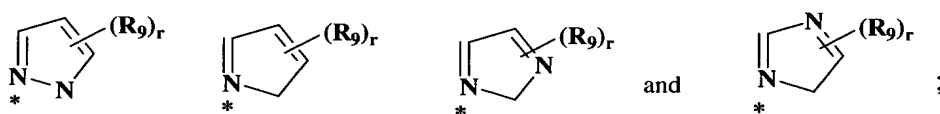
$Z_6$  is fused to ring A comprising the common carbon atom  $C^*$  and is

$Z_7$  is fused to ring A comprising the common carbon atom  $C^*$  and is selected from:



$Z_8$  is fused to ring B comprising the common nitrogen atom  $N^*$  and is selected from

5

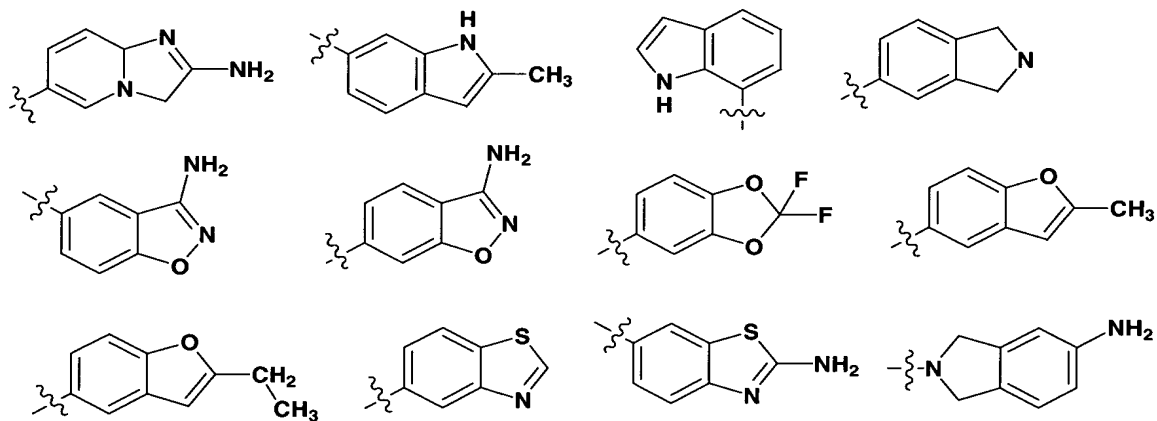


$Z_9$  is CH or N;

$r$  is 0, 1, or 2; and

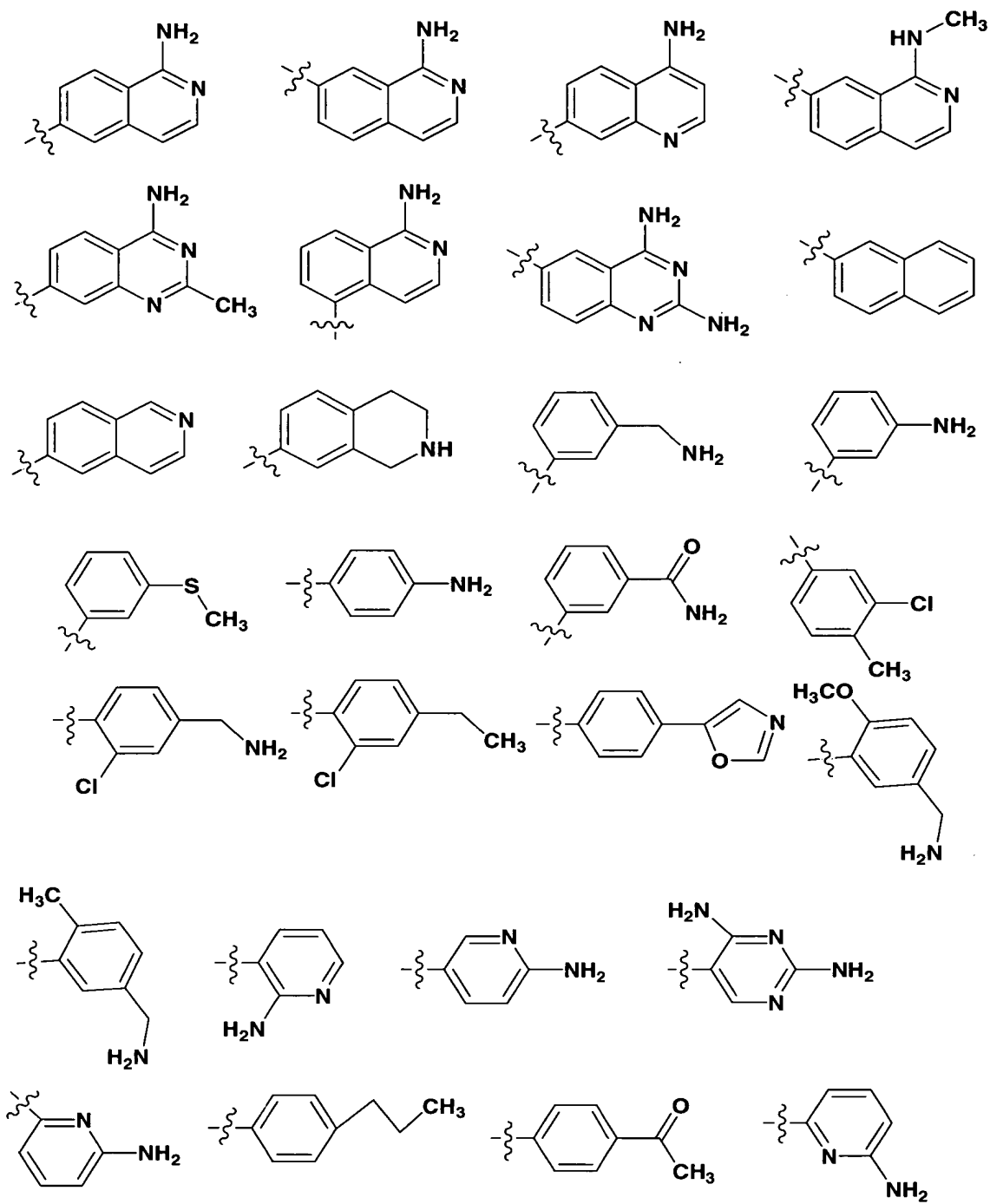
10  $s$  is 0, 1, 2, or 3.

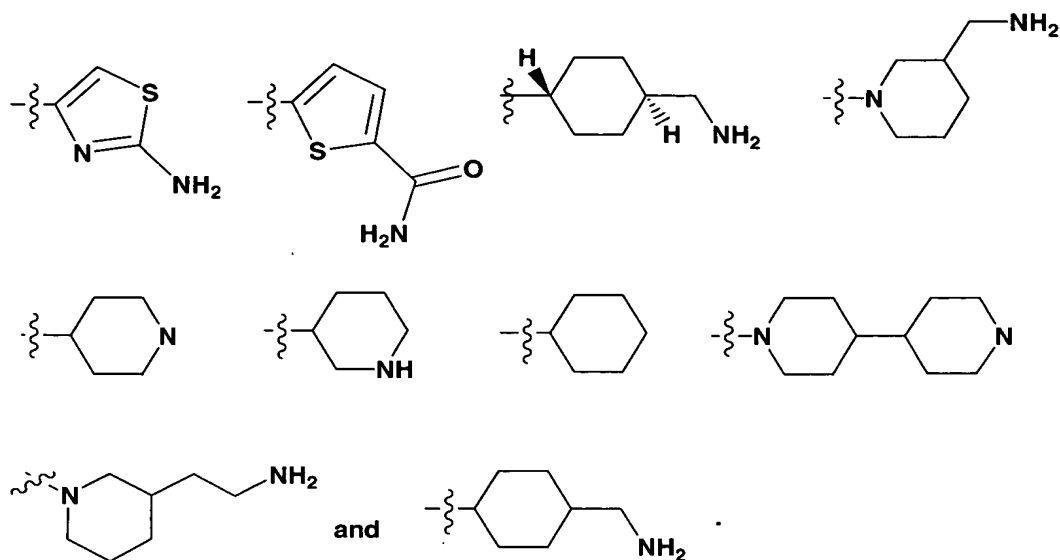
6. A compound according to claim 1, or a stereoisomer or a pharmaceutically-acceptable salt, hydrate or prodrug thereof, wherein  $Z$  is selected from:



15







7. A compound according to claim 1, or a stereoisomer or a pharmaceutically-  
5 acceptable salt, hydrate or prodrug thereof, wherein  $R_1$  and  $R_2$  are  $OR_{12}$ .

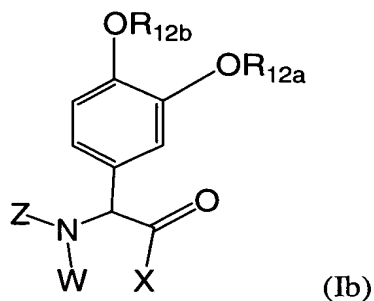
8. A compound according to claim 7, or a stereoisomer or a pharmaceutically  
acceptable salt, hydrate or prodrug thereof, wherein  $R_{12}$  is  $C_{1-6}$ alkyl, phenyl, or  
10 benzyl optionally substituted with one to two of halogen, cyano, haloalkyl,  
haloalkoxy, nitro, hydroxy,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy, amino,  
 $NH(C_{1-4}alkyl)$ , and  $N(C_{1-4}alkyl)_2$ .

15 9. A compound according to claim 8, or a stereoisomer or a pharmaceutically-  
acceptable salt, hydrate or prodrug thereof, wherein W is hydrogen.

10. A compound according to claim 9, or a stereoisomer or a pharmaceutically-  
20 acceptable salt, hydrate or prodrug thereof, wherein X is  $NH(phenyl)$ ,  $NH(benzyl)$ ,  
 $SO_2alkyl$ , or  $SO_2(phenyl)$  optionally substituted with one to two of  $C_{1-4}alkyl$ ,

C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino, C<sub>1-4</sub>alkylamino, and/or cyano.

- 5 11. A compound having the formula (Ib),

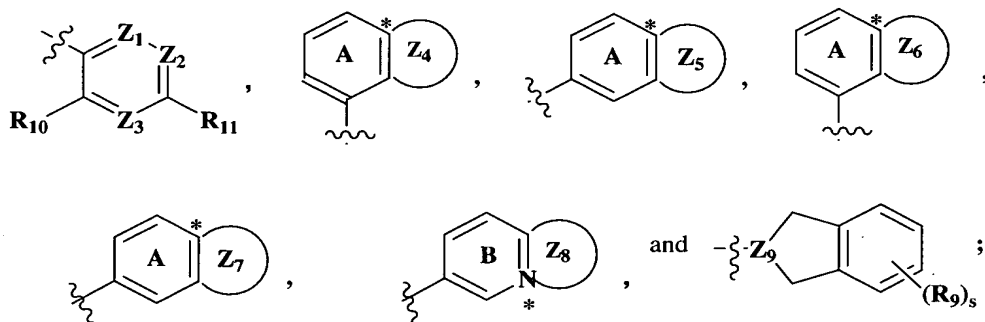


or a stereoisomer or a pharmaceutically-acceptable salt thereof, wherein:

- X is selected from -O(phenyl) optionally substituted with one to two R<sub>25</sub>,  
 10 -O(benzyl) optionally substituted with one to two R<sub>25</sub>, -NH(phenyl) optionally substituted with one to two R<sub>25</sub>, and -NH(phenylalkyl) optionally substituted with one to two R<sub>25</sub>;

W is hydrogen or -(CH<sub>2</sub>)<sub>q</sub>-H;

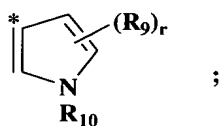
Z is selected from:



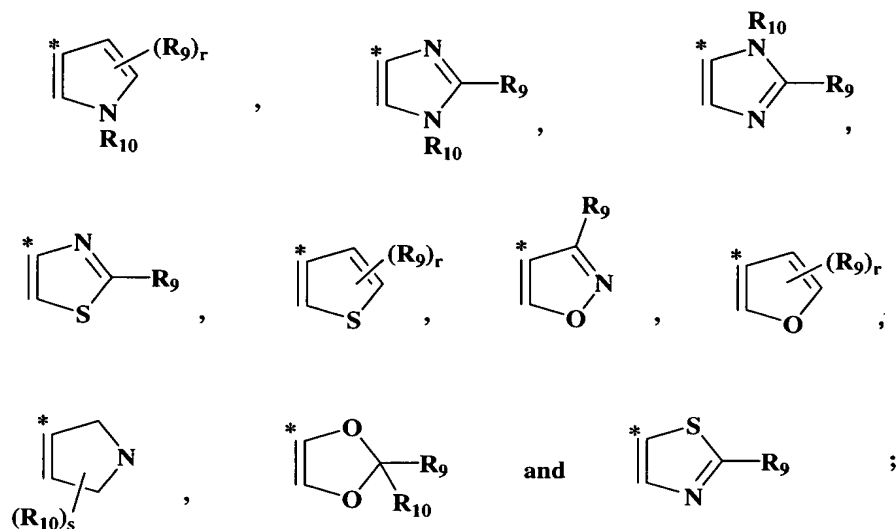
15

Z<sub>1</sub>, Z<sub>2</sub> and Z<sub>3</sub> are selected from N and CR<sub>9</sub>;

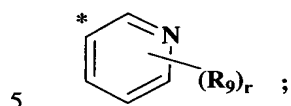
Z<sub>4</sub> is fused to ring A comprising the common carbon atom C\* and is



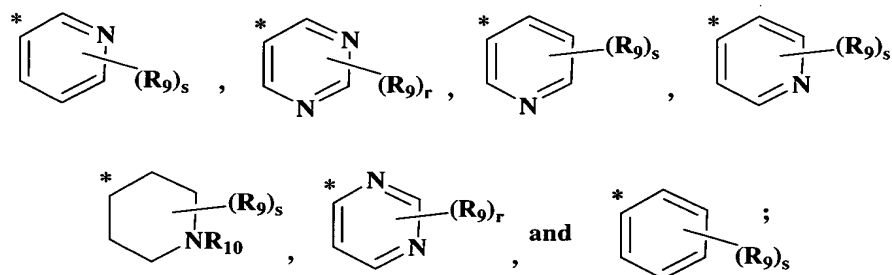
$Z_5$  is fused to ring A comprising the common carbon atom  $C^*$  and is selected from:



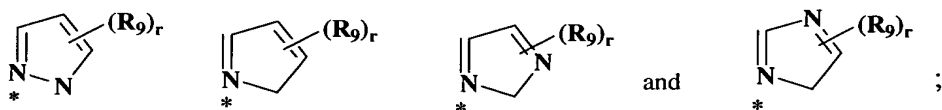
$Z_6$  is fused to ring A comprising the common carbon atom  $C^*$  and is



$Z_7$  is fused to ring A comprising the common carbon atom  $C^*$  and is selected from:



10  $Z_8$  is fused to ring B comprising the common nitrogen atom  $N^*$  and is selected from



$Z_9$  is CH or N;

$R_9$  and  $R_{10}$  are independently selected from hydrogen, halogen, alkyl, substituted alkyl, haloalkyl, haloalkoxy, cyano, nitro,  $-S(O)_uR_{21}$ ,  $-NR_{22}SO_2R_{21}$ ,

$-\text{C}(=\text{O})\text{NR}_{22}\text{R}_{23}$ ,  $-\text{OR}_{22}$ ,  $-\text{CO}_2\text{R}_{22}$ ,  $-\text{C}(=\text{O})\text{R}_{22}$ ,  $-\text{SR}_{22}$ ,  $-\text{NR}_{22}\text{R}_{23}$ ,  $-\text{NR}_{22}\text{CO}_2\text{R}_{23}$ ,  
 $-\text{NR}_{22}\text{C}(=\text{O})\text{R}_{23}$ ,  $-\text{NR}_{22}\text{C}(=\text{O})\text{NR}_{23}\text{R}_{24}$ ,  $-\text{SO}_2\text{NR}_{22}\text{R}_{23}$ ,  $-\text{NR}_{22}\text{SO}_2\text{NR}_{23}\text{R}_{24}$ ,  
 $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$ , five or six membered heterocyclo or heteroaryl, phenyl, and  
 $\text{C}_{3-7}$ cycloalkyl, provided that  $\text{R}_9$  and  $\text{R}_{10}$  are not  $-\text{C}(=\text{NR}_{22})\text{NR}_{23}\text{R}_{24}$  when  $\text{W}$  is  
 5 hydrogen; wherein when  $\text{R}_9$  or  $\text{R}_{10}$  is independently selected from heterocyclo,  
 heteroaryl, phenyl, and  $\text{C}_{3-7}$ cycloalkyl, each of said cyclic groups in turn is optionally  
 substituted with up to three of  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ hydroxyalkyl,  
 $\text{C}_{1-4}$ aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino,  $\text{C}_{1-4}$ alkylamino,  
 and/or cyano;

10  $\text{R}_{12}$ ,  $\text{R}_{12a}$ ,  $\text{R}_{12b}$ ,  $\text{R}_{22}$ ,  $\text{R}_{23}$ , and  $\text{R}_{24}$  are independently selected from hydrogen,  
 alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, cycloalkyl, and  
 heterocyclo;

$\text{R}_{21}$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl,  
 heteroaryl, cycloalkyl, and heterocyclo;

15  $\text{R}_{25}$  at each occurrence is selected from  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ alkoxy,  
 $\text{C}_{1-4}$ hydroxyalkyl,  $\text{C}_{1-4}$ aminoalkyl, halogen, hydroxy, haloalkyl, haloalkoxy, amino,  
 $\text{C}_{1-4}$ alkylamino, and/or cyano;

$p$  is 1 or 2;

$q$  is 1, 2 or 3;

20  $r$  is 0, 1, or 2;

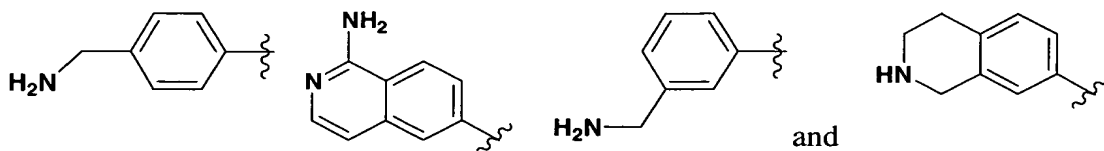
$s$  is 0, 1, 2, or 3;

$t$  is 1 or 2; and

$u$  is 1 or 2.

25

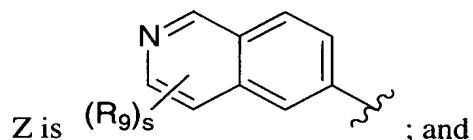
12. A compound according to claim 11, or a stereoisomer or a pharmaceutically-  
 acceptable salt thereof, wherein  $\text{Z}$  is selected from



13. A compound according to claim 1, wherein:

X is  $\text{NR}_5(\text{benzyl})$  optionally substituted with one to two  $\text{R}_{25}$ ;

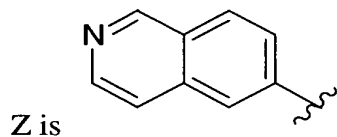
W is hydrogen;



- 5  $\text{R}_{25}$  at each occurrence is selected from halogen, cyano, nitro,  $\text{C}_{1-10}\text{alkyl}$ ,  $\text{C}_{2-10}\text{alkenyl}$ , substituted  $\text{C}_{1-10}\text{alkyl}$ , substituted  $\text{C}_{2-10}\text{alkenyl}$ ,  $-\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  $-\text{OR}_{12}$ ,  $-\text{CO}_2\text{R}_{12}$ ,  $-\text{C}(=\text{O})\text{R}_{12}$ ,  $-\text{SR}_{12}$ ,  $-\text{S}(\text{O})_t\text{R}_{15}$ ,  $-\text{NR}_{12}\text{R}_{13}$ ,  $-\text{NR}_{12}\text{SO}_2\text{R}_{15}$ ,  $-\text{NR}_{14}\text{SO}_2\text{NR}_{12}\text{R}_{13}$ ,  $-\text{NR}_{12}\text{CO}_2\text{R}_{13}$ ,  $-\text{NR}_{12}\text{C}(=\text{O})\text{R}_{13}$ ,  $-\text{NR}_{14}\text{C}(=\text{O})\text{NR}_{12}\text{R}_{13}$ ,  $-\text{SO}_2\text{NR}_{12}\text{R}_{13}$ , aryl, heteroaryl, cycloalkyl, and heterocyclo.

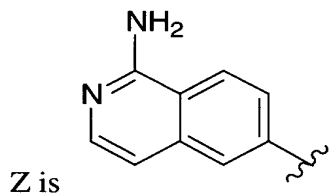
10

14. A compound according to claim 13, wherein:



15

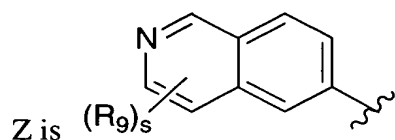
15. A compound according to claim 13, wherein:



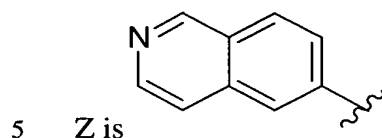
- 20 16. A compound according to claim 1, wherein:

X is OH;

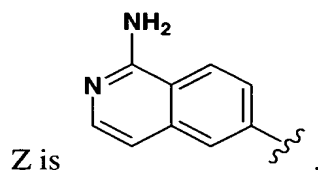
W is hydrogen; and



17. A compound according to claim 16, wherein:



18. A compound according to claim 16, wherein:



19. A compound according to claim 1, wherein the compound is selected from the group:

15 2-(4-Aminomethyl-phenylamino)-N-benzyl-2-(3-ethoxy-4-isopropoxy-phenyl)-acetamide;

7-[[Carboxy-(3-ethoxy-4-isopropoxy-phenyl)-methyl]-amino]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid *tert*-butyl ester;

[3-(*tert*-Butoxycarbonylamino-methyl)-phenylamino]-(3-ethoxy-4-isopropoxy-phenyl)-acetic acid;

20 (1-Amino-isoquinolin-6-ylamino)-(3-ethoxy-4-isopropoxy-phenyl)-acetic acid;

2-(1-Amino-isoquinolin-6-ylamino)-N-benzyl-2-(3-ethoxy-4-isopropoxy-phenyl)-acetamide; or a stereoisomer or a pharmaceutically-acceptable salt thereof.

25

20. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 1, or a stereoisomer or a pharmaceutically-acceptable salt thereof.

5

21. A method for treating a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1, or a stereoisomer or a pharmaceutically acceptable salt thereof.

10

22. A method according to Claim 21, wherein the thromboembolic disorder is selected from the group consisting of arterial cardiovascular thromboembolic disorders, venous cardiovascular thromboembolic disorders, and thromboembolic disorders in the chambers of the heart.

15

23. A method according to Claim 21, wherein the thromboembolic disorder is selected from unstable angina, an acute coronary syndrome, first myocardial infarction, recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, peripheral occlusive arterial disease, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary arterial thrombosis, cerebral arterial thrombosis, cerebral embolism, kidney embolism, pulmonary embolism, and thrombosis resulting from (a) prosthetic valves or other implants, (b) indwelling catheters, (c) stents, (d) cardiopulmonary bypass, (e) hemodialysis, or (f) other procedures in which blood is exposed to an artificial surface that promotes thrombosis.

20

25

24. The pharmaceutical composition of claim 20 further comprising at least one other therapeutic agent selected from one or more of potassium channel openers, calcium channel blockers, sodium hydrogen exchanger inhibitors, antiarrhythmic agents, antiatherosclerotic agents, anticoagulants, antithrombotic agents, prothrombolytic agents, fibrinogen antagonists, diuretics, antihypertensive agents,

30



ATPase inhibitors, mineralocorticoid receptor antagonists, phosphodiesterase inhibitors, antidiabetic agents, anti-inflammatory agents, antioxidants, angiogenesis modulators, antiosteoporosis agents, hormone replacement therapies, hormone receptor modulators, oral contraceptives, antiobesity agents, antidepressants, 5 antianxiety agents, antipsychotic agents, antiproliferative agents, antitumor agents, antiulcer and gastroesophageal reflux disease agents, growth hormone agents and/or growth hormone secretagogues, thyroid mimetics, anti-infective agents, antiviral agents, antibacterial agents, antifungal agents, cholesterol/lipid lowering agents and lipid profile therapies, and agents that mimic ischemic preconditioning and/or 10 myocardial stunning.

25. The pharmaceutical composition of claim 20 wherein the at least one other therapeutic agent is an antihypertensive agent selected from ACE inhibitors, AT-1 15 receptor antagonists, ET receptor antagonists, dual ET/AII receptor antagonists, and vasopepsidase inhibitors, or an antithrombotic agent selected from an antiplatelet agent selected from GPIIb/IIIa blockers, P2Y<sub>1</sub> and P2Y<sub>12</sub> antagonists, thromboxane receptor antagonists, and aspirin.

20

26. A method of treating a Factor VIIa-associated disorder comprising administering an effective amount of at least one compound of Claim 1, or a stereoisomer or a pharmaceutically-acceptable salt thereof, to a patient in need thereof.

25

27. The method of claim 26 wherein the Factor VIIa-associated disorder is selected from myocardial infarction, coronary artery disease, non-Q wave MI, congestive heart failure, cardiac arrhythmias, unstable angina, chronic stable angina, 30 Prinzmetal's angina, high blood pressure, intermittent claudication, and peripheral occlusive arterial disease.